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PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

PCT Application
PCT/JP2003/000112

Translation

Applicant's or agent's file reference 3012WO0P	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/JP03/00112	International filing date (day/month/year) 09 January 2003 (09.01.03)	Priority date (day/month/year) 11 January 2002 (11.01.02)
International Patent Classification (IPC) or national classification and IPC C07D 311/18, 405/10, 405/12, 413/10, 417/10, A61K 31/352, 31/41, 31/4245, 31/433, 31/4709, 31/4725, 31/496, A61P 3/06, 9/00, 9/10, 25/28, 43/00		
Applicant TAKEDA CHEMICAL INDUSTRIES, LTD.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 6 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of _____ sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☒ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☒ Certain observations on the international application

Date of submission of the demand 12 February 2003 (12.02.03)	Date of completion of this report 17 July 2003 (17.07.2003)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP03/00112

I. Basis of the report

1. With regard to the elements of the international application:*

- ☒ the international application as originally filed
- ☐ the description: _____, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____
- ☐ the claims: _____, as originally filed
 pages _____, as amended (together with any statement under Article 19
 pages _____, filed with the demand
 pages _____, filed with the letter of _____
- ☐ the drawings: _____, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____
- ☐ the sequence listing part of the description: _____, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item. These elements were available or furnished to this Authority in the following language _____ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

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III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 18-20

because:

☒ the said international application, or the said claims Nos. 18-20
relate to the following subject matter which does not require an international preliminary examination (*specify*):

The subject matter of claims 18-20 relates to a method for treatment of the human body by therapy, which does not require an international preliminary examination by the International Preliminary Examining Authority.

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. _____
are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. _____ are so inadequately supported
by the description that no meaningful opinion could be formed.

☒ no international search report has been established for said claims Nos. 18-20.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

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V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)

Claims

1-17, 21-23

YES

NO

Claims

YES

Inventive step (IS)

Claims

NO

Claims

1-17, 21-23

YES

Industrial applicability (IA)

Claims

1-17, 21-23

NO

Claims

2. Citations and explanations

Document 1: EP 585913 A2

The above document cited in the international search report does not describe the inventions of claims 1-17 and 21-23, and therefore these inventions are novel.

Based on the description in document 1 cited in the international search report, the inventions of claims 1-17 and 21-23 lack an inventive step. Document 1 (Claims, page 55) describes condensed heterocyclic compounds, and it states that these compounds act as ACAT inhibitors. The inventions of claims 1-17 and 21-23 concern multiple compounds with various combinations of substituents, and these compounds are not specifically described in document 1. However, document 1 states that substitutions with these substituents are possible, and this examination finds that the selection of optimal substituents is a technical matter within the conventional scope of persons skilled in the art. As a result, this examination finds that persons skilled in the art can easily select substituents and prepare the compounds of claims 1-17 and 21-23 based on the compounds described in document 1 with the expectation that they will have ACAT inhibitory activity.

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VI. Certain documents cited

1. Certain published documents (Rule 70.10)

<u>Application No. Patent No.</u>	<u>Publication date (day/month/year)</u>	<u>Filing date (day/month/year)</u>	<u>Priority date (valid claim) (day/month/year)</u>
JP 2002-255808 A	11.09.02	13.07.01	13.07.00
[EX]			
JP 2002-241267 A	28.08.02	11.12.01	11.12.00
[EX]			

2. Non-written disclosures (Rule 70.9)

Kind of non-written disclosure

Date of non-written disclosure
(day/month/year)

Date of written disclosure
referring to non-written disclosure
(day/month/year)

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VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

After reviewing the description in the Specification, it is unclear what structures are included in the term "prodrug" used in the description of claim 13, and therefore the scope of compounds in this invention is vague.

In this international preliminary examination report the prior art search was conducted based on the compounds specifically described in the Specification.